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FIGURE 1A

FIGURE 1C

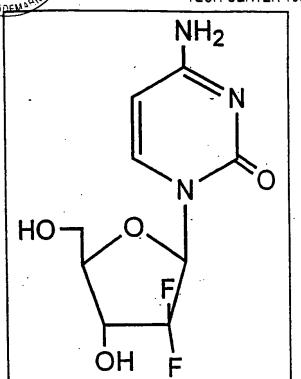


FIGURE 1B

RECEIVE AUG 0 2 2002 COPY OF PAPERS ORIGINALLY FILED TECH CENTER 1600/2900 NaH, CeHsCH2O(CH2)8Br FIGURE 2 ESH pTSA -OC(C₆H₅)₃ + CH3(CH2)11Br -OC(C₆H₅)₃ -SC₁₂H₂₅ (CeH₅)₃CCI

-\$C₁₂H₂₅

X FO

Synthesis of Lipid Backbone

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Synthesis of AZT-Malonic Acid (AZT-MA)

1.CICOCH₂COCI 2. H₂O

Substituted malonic acid chiorides (CICOCHRCOCI) could also be used in the above reaction; R = CH3, CH2CH3, C6H5

FIGURE



Synthesis of AZT-Phosphocholine Conjugate





FIGURE 4

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lipid & ara-C coupled through phosphate ester

lipid & gemcitabine coupled through phosphate ester

lipid & ara-C coupled through phosphonate ester

lipid & gemcitabine coupled through phosphonate ester



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lipid coupled to methotrexate through an ester

$$C_{10}H_{21}O \xrightarrow{Q} COOH \xrightarrow{N+CH_2-N-N+N+2} NH_2$$

$$C_{10}H_{21}O \xrightarrow{Q} COOH \xrightarrow{N+CH_2-N-N+N+2} NH_2$$